

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

Atty. Docket: SZARDENINGS=1

In re Patent of:	)	Conf. No.: 3759
	)	
SZARDENINGS et al.	)	
	)	
Patent No.: 7,008,925	)	Washington, D.C.
	)	
Issued: March 7, 2006	)	September 17, 2007
	)	
For: MELANOCORTIN 1 RECEPTOR	)	
SELECTIVE COMPOUNDS	)	ATTN: Certificate of
	)	Correction Division

REQUEST FOR CERTIFICATE OF CORRECTION UNDER 37 C.F.R. §1.322  
and 37 C.F.R. §1.323

Honorable Commissioner for Patents  
U.S. Patent and Trademark Office  
Randolph Building, Mail Stop Post Issue  
401 Dulany Street  
Alexandria, VA 22314

Sir:

In checking over the printed copy of the above-identified patent, we have found the following errors that are the fault of the Patent and Trademark Office. It is respectfully requested that these errors be corrected in accordance with 37 CFR §1.322(a). The errors to be corrected are listed below.

1) Column 51, line 1 (claim 5), "(2)" should read --(3)--.

2) Column 55, line 39 (claim 13), "nitrate" should read --nitrite--.

In re of U.S. Patent 7,008,925

In accordance with MPEP §1480.01, in an effort to expedite processing of this request, also attached hereto are copies of an amendment under 37 CFR §1.312 and a communication, both filed on November 16, 2005, which indicate the correct text for claims 5 and 13.

In addition, we have found the following error that is not the fault of the Patent and Trademark Office. It is respectfully requested that this error be corrected in accordance with 37 CFR §1.323. The error to be corrected is listed below.

3) Column 55, line 15, "GIY" should read --Gly--.

When the changes above are considered, it will be clear that the errors are of a typographical or clerical error in nature and/or of minor character, which occurred in good faith. Correction thereof does not involve such changes in the patent as would constitute "new matter" or would require re-examination.

Attached please find PTO Form 2038 authorizing payment in the amount of \$100.00 to cover the appropriate fee for corrections under 37 CFR §1.323. If insufficient fees are specifically authorized, please charge same to Deposit Account No. 02-4035.

We are also attaching one copy of the Certificate of Correction form.


In re of U.S. Patent 7,008,925

Granting of this request is earnestly solicited.

Respectfully submitted,

BROWDY AND NEIMARK, P.L.L.C.  
Attorneys for Applicant(s)

By

  
Iver P. Cooper

Registration No. 28,005

:dtb

Telephone No.: (202) 628-5197

Facsimile No.: (202) 737-3528

G:\ipc\n-q\Plou\Szardenings1\2007-0910CertCor322and323.doc

UNITED STATES PATENT AND TRADEMARK OFFICE  
CERTIFICATE OF CORRECTION

Page 1 of 1

PATENT NO. : 7,008,925  
APPLICATION NO.: 09/674,733  
ISSUE DATE : March 7, 2006  
INVENTOR(S) : SZARDENINGS et al.

It is certified that an error appears or errors appear in the above-identified patent and that said Letters Patent is hereby corrected as shown below:

- 1) Column 51, line 1 (claim 5), "(2)" should read  
--(3)--.
- 2) Column 55, line 39 (claim 13), "nitrate" should  
read --nitrite--.
- 3) Column 55, line 15, "GIy" should read --Gly--.

MAILING ADDRESS OF SENDER (Please do not use customer number below):  
624 Ninth Street, NW  
Suite 300  
Washington, DC 20001-5303

This collection of information is required by 37 CFR 1.322, 1.323, and 1.324. The information is required to obtain or retain a benefit by the public which is to file (and by the USPTO to process) an application. Confidentiality is governed by 35 U.S.C. 122 and 37 CFR 1.14. This collection is estimated to take 1.0 hour to complete, including gathering, preparing, and submitting the completed application form to the USPTO. Time will vary depending upon the individual case. Any comments on the amount of time you require to complete this form and/or suggestions for reducing this burden, should be sent to the Chief Information Officer, U.S. Patent and Trademark Office, U.S. Department of Commerce, P.O. Box 1450, Alexandria, VA 22313-1450. DO NOT SEND FEES OR COMPLETED FORMS TO THIS ADDRESS. SEND TO: Attention Certificate of Corrections Branch, Commissioner for Patents, P.O. Box 1450, Alexandria, VA 22313-1450.

If you need assistance in completing the form, call 1-800-PTO-9199 and select option 2.

COPY

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

In re Application of:	)	Art Unit: 1654
	)	
SZARDENINGS, et al.	)	Examiner: CHISM, B.
	)	
Serial No.: 09/674,733	)	Washington, D.C.
	)	
Filed: May 2, 2001	)	November 16, 2005
	)	
For: MELANOCORTIN 1 RECEPTOR	)	Docket No.: SZARDENINGS=1
SELECTIVE COMPOUNDS	)	
	)	Confirmation No.: 3759

COMMUNICATION

U.S. Patent and Trademark Office  
Customer Service Window, Mail Stop Issue Fee  
Randolph Building  
401 Dulany Street  
Alexandria, VA 22314

S i r :

There is a typographical error on page 5 of the July 21, 2004 amendment.

Claim 5 is listed as an original claim. In line 2, original claim 5 refers to formula "(3)". As a result of a typographical error, original claim 5 as presented recites formula "(2)".

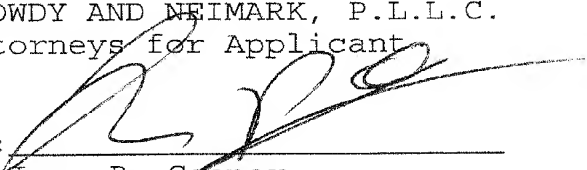
This error was carried forward into the subsequent May 31, 2005 amendment, also on page 5.

To correct the record, a substitute page 5 is enclosed herewith. On November 16, Examiner Chism agreed that this could be submitted to clarify the record.

Respectfully submitted,

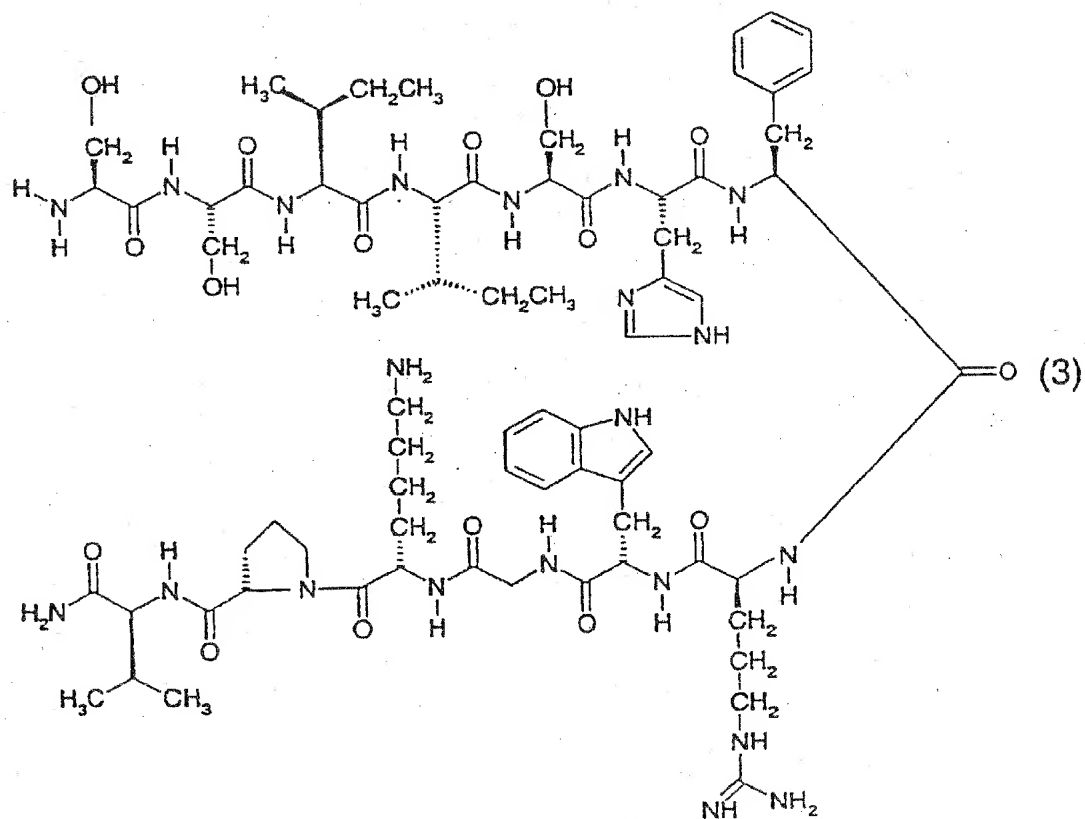
BROWDY AND NEIMARK, P.L.L.C.  
Attorneys for Applicant

By:

  
Iver P. Cooper  
Reg. No. 28,005

624 Ninth Street, N.W.  
Washington, D.C. 20001  
Telephone: (202) 628-5197  
Facsimile: (202) 737-3528  
IPC:lms  
G:\ipc\n-g\Plou\Szardenings1\pto communication.wpd

5 (original). A compound according to claim 1, of formula  
(3) (SEQ ID NO:1):



COPY

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

In re Application of:	)	Art Unit: 1654
	)	
SZARDENINGS, et al.	)	Examiner: CHISM, B.
	)	
Serial No.: 09/674,733	)	Washington, D.C.
	)	
Filed: May 2, 2001	)	November 16, 2005
	)	
For: MELANOCORTIN 1 RECEPTOR	)	Docket No.: SZARDENINGS=1
SELECTIVE COMPOUNDS	)	
	)	Confirmation No.: 3759

AMENDMENT UNDER RULE 37 CFR 1.312(a)

U.S. Patent and Trademark Office  
Customer Service Window, Mail Stop Issue Fee  
Randolph Building  
401 Dulany Street  
Alexandria, VA 22314

S i r :

**Amendments to the Claims** are reflected in the listing of claims which begins on page 2 of this paper.

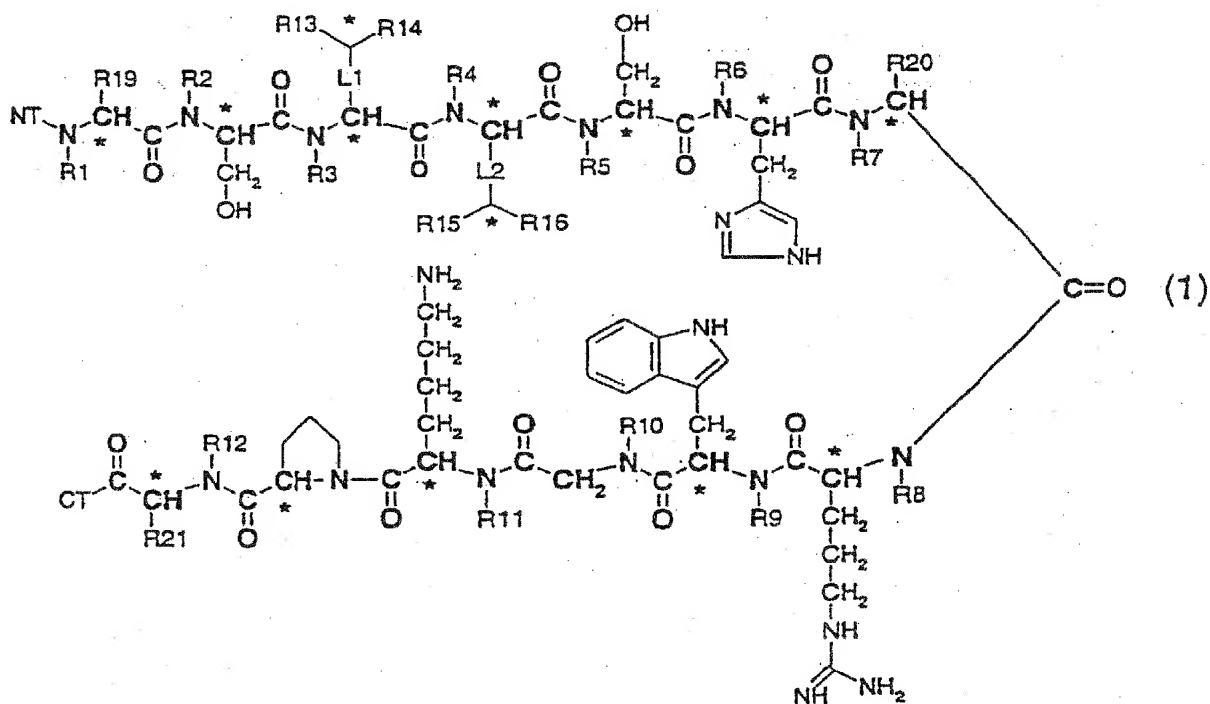
**Remarks** begin on page 12 of this paper.

Amendments to the Claim:

This listing of claims will replace all prior versions, and listings, of claims in the application:

Listing of Claims:

1 (currently amended). A compound of general formula (1):



wherein R1, R2, R3, R4, R5, R6, R7, R8, R9, R10, R11 and R12 are selected independently from H and methyl, and wherein R13, R14, R15 and R16 are selected independently from H and alkyl and wherein optionally one hydrogen in R13 and one hydrogen in R14 is exchanged for a bond between R13 and R14, and wherein optionally one hydrogen in R15 and one hydrogen in R16 is exchanged for a bond between R15 and R16, and wherein L1 and L2 are linkers which are independently selected from the group consisting of single bond, methyl, and ethyl, and wherein R19, R20 and R21 are selected independently from H and -CH<sub>2</sub>X, where X is H, alkyl, substituted alkyl, heteroalkyl, substituted heteroalkyl, alkenyl, substituted alkenyl,



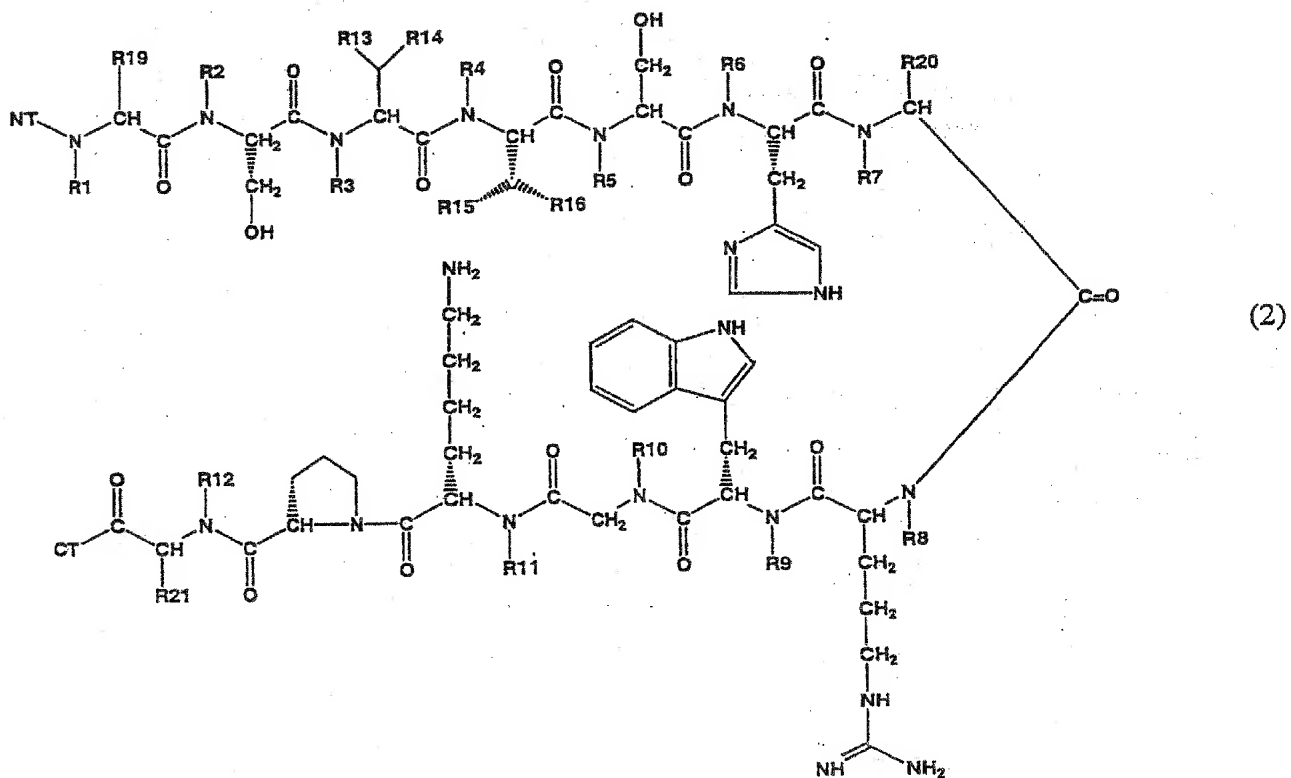
heteroalkenyl, substituted heteroalkenyl, alkynyl, substituted alkynyl, heteroalkynyl, substituted heteroalkynyl, cycloalkyl, substituted cycloalkyl, cycloheteroalkyl, substitute cycloheteroalkyl, cycloalkenyl, substitute cycloalkenyl, cycloheteroalkenyl, substituted cycloheteroalkenyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, and functional group Q, where Q is selected from the group consisting of amino, alkylamino, dialkylamino, arylamino, arylazido, heteroarylamino, heteroarylazido, hydroxy, ~~alkylhydroxy~~ alkylhydroxy, fluorinated alkylhydroxy, cyano, carboxy, alkylcarboxy, arylcarboxy, halogen, nitro, hydroxylamino, acyl, fluorinated acyl, nitroso, sulfonyl, sulfinyl, thio, alkylthio, and arylthio,

and wherein NT is selected from H, hydroxyl, alkyl, aminoacid, aminoacid analogue, polypeptide and functional group Q, and CT is selected from hydrogen, hydroxyl, alkyl, aminoacid, aminoacid analogue, polypeptide and functional group Q, and wherein each asymmetric center (\*) is in R or S configuration.

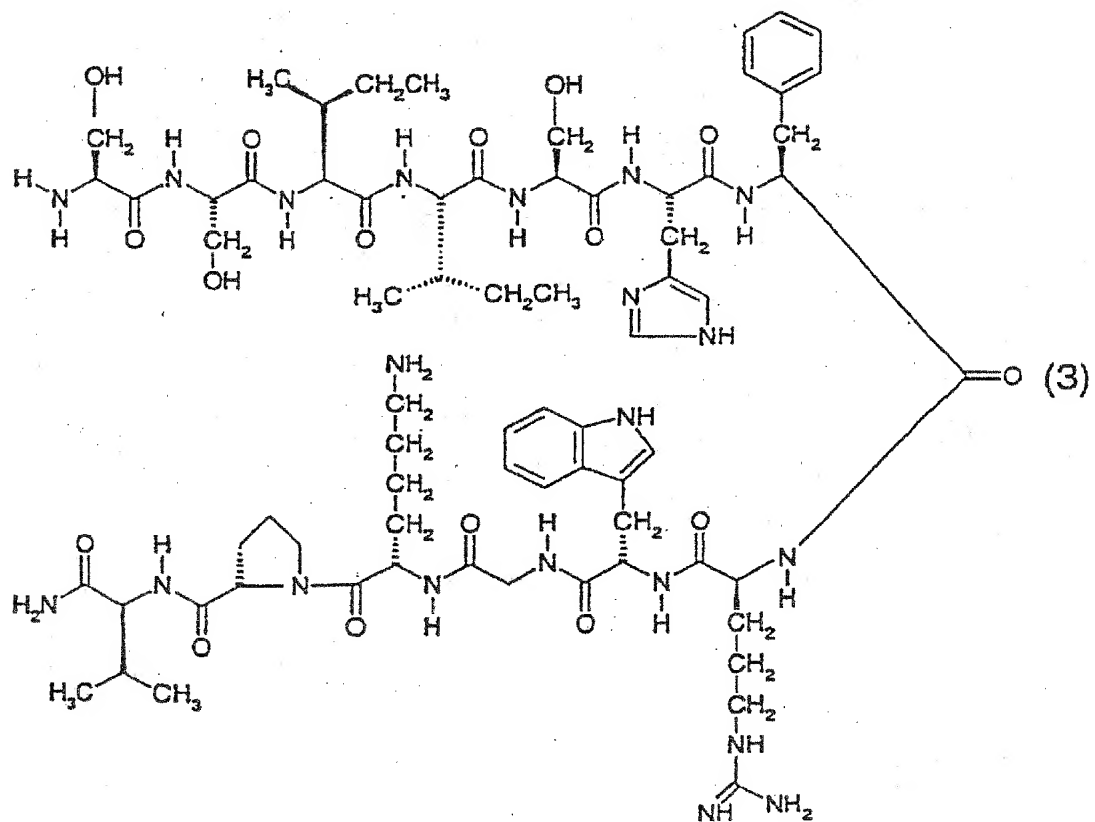
2 (previously presented). The compound of claim 1, wherein R<sub>20</sub> is -CH<sub>2</sub>X, wherein X is phenyl.

3 (previously presented) The compound of claim 1, wherein one or several of the nitrogens of the peptide backbone have been exchanged for carbon substituted with hydrogen, and/or wherein one or several of the oxygens of the carbonyl groups of the peptide backbone has been exchanged for two hydrogens.

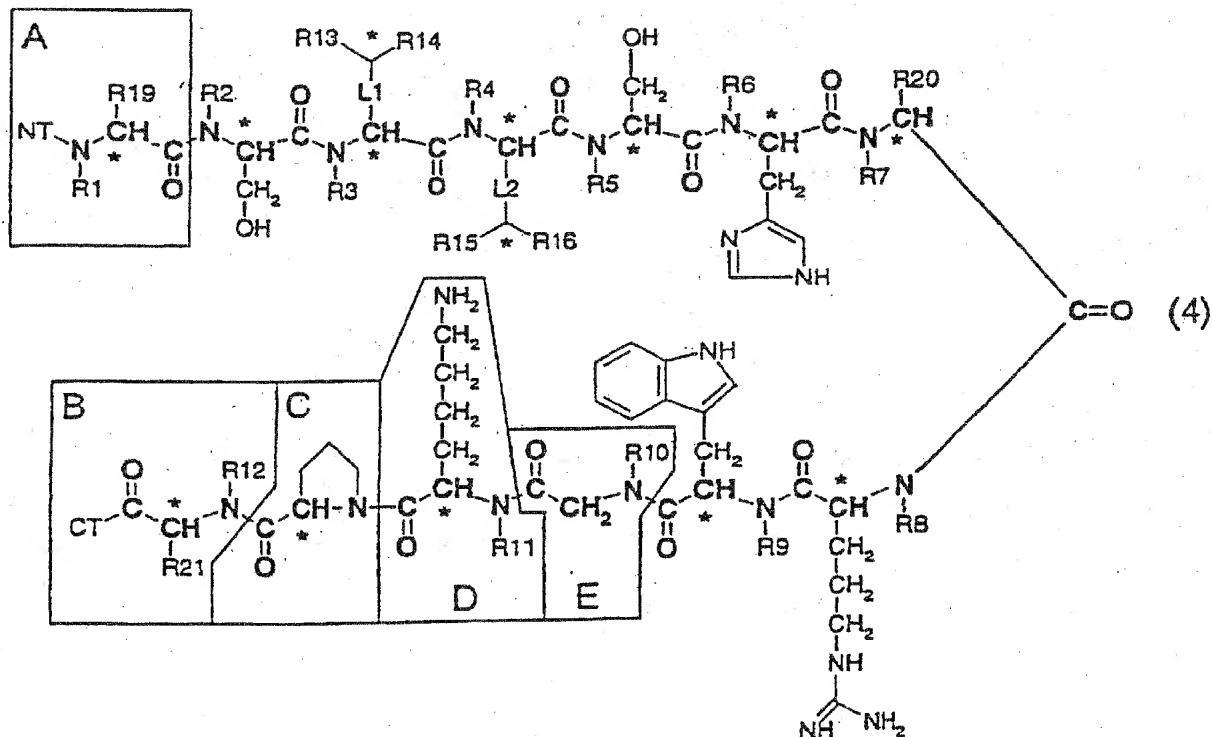
4 (previously presented). The compound of claim 1, having the stereomeric conformation given in the general formula (2):



5 (original). A compound according to claim 1, of formula (3) (SEQ ID NO:1):



6 (previously presented). A compound, of the general formula (4):



wherein moiety A is optionally exchanged for hydrogen, hydroxyl, alkyl, aminoacid, aminoacid analogue, polypeptide, or functional group,

wherein moiety B is optionally exchanged for hydrogen, hydroxyl, alkyl, aminoacid, aminoacid analogue, polypeptide, or functional group,

wherein optionally moiety D is exchanged for aminoacid or aminoacid analogue,

wherein optionally moiety E is exchanged for aminoacid or aminoacid analogue, wherein R1, R2, R3, R4, R5, R6, R7, R8, R9, R10, R11 and R12 are selected independently from H and methyl, and wherein R13, R14, R15 and R16 are selected independently from H and alkyl and wherein optionally one hydrogen in R13 and one hydrogen in R14 is exchanged for a bond between R13 and R14, and wherein optionally one hydrogen in R15 and one hydrogen in R16

is exchanged for a bond between R15 and R16, and wherein L1 and L2 are linkers which are independently selected from the group consisting of single bond, methyl, and ethyl, and wherein R19, R20 and R21 are selected independently from H and -CH<sub>2</sub>X, where X is H, alkyl, substituted alkyl, heteroalkyl, substituted heteroalkyl, alkenyl, substituted alkenyl, heteroalkenyl, substituted heteroalkenyl, alkynyl, substituted alkynyl, heteroalkynyl, substituted heteroalkynyl, cycloalkyl, substituted cycloalkyl, cycloheteroalkyl, substitute cycloheteroalkyl, cycloalkenyl, substitute cycloalkenyl, cycloheteroalkenyl, substituted cycloheteroalkenyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, and functional group Q, where Q is selected from the group consisting of amino, alkylamino, dialkylamino, arylamino, arylazido, heteroarylamino, heteroarylazido, hydroxy, alkylhydrxy, fluorinated alkylhydroxy, cyano, carboxy, alkylcarboxy, arylcarboxy, halogen, nitro, hydroxylamino, acyl, fluorinated acyl, nitroso, sulfonyl, sulfinyl, thio, alkylthio, and arylthio, and wherein NT is selected from H, hydroxyl, alkyl, aminoacid, aminoacid analogue, polypeptide and functional group Q, and CT is selected from hydrogen, hydroxyl, alkyl, aminoacid, aminoacid analogue, polypeptide and functional group Q, and wherein each asymmetric center (\*) is in R or S configuration.

7 (previously presented). A compound according to claim 1, wherein one or several of R1, R2, R3, R4, R5, R6, R7, R8, R9, R10, R11 and R12 are selected to be methyl, whereas the rest is selected to be hydrogen, the selections being made so as to prevent or decelerate breakdown by proteases and/or peptidases.

8 (previously presented). A compound according to claim 1, wherein less than 6 of the R1, R2, R3, R4, R5, R6, R7, R8, R9, R10, R11 and R12 are methyl.

9 (previously presented). A compound comprising the sequence Ser-Ser-Ile-Ile-Ser-His-Phe-Arg-Trp-Gly-Lys-Pro-Val-NH<sub>2</sub> (MS-05) (SEQ ID NO:1), wherein the amino-acids are all L-amino-acids;

or a compound comprising the sequence:

Ser-Ser-Ile-Ile-Ser-His-dPhe-Arg-Trp-Gly-Lys-Pro-Val-NH<sub>2</sub> (MS-09)  
(SEQ ID NO:2).

10 (previously presented). A compound comprising one of the following sequences:

Ser-Ser-Ile-Ile-Ser-His-dPhe-Arg-Trp-Gly-Lys-Pro-Val-NH<sub>2</sub> (MS-09)  
(SEQ ID NO:2),

Tyr-Ser-Ser-Ile-Ile-Ser-His-Phe-Arg-Trp-Gly-Lys-Pro-Val-NH<sub>2</sub>  
(MS-30) (SEQ ID NO:3),

Tyr-Ser-Ile-Ile-Ser-His-Phe-Arg-Trp-Gly-Lys-Pro-Val-NH<sub>2</sub> (MS-31)  
(SEQ ID NO:4),

Ser-Ser-Ile-Ile-Ser-His-Phe-Arg-Trp-Gly-Lys-Pro-Val-Tyr-NH<sub>2</sub>  
(MS-32) (SEQ ID NO:5),

Ser-Ile-Ile-Ser-His-Phe-Arg-Trp-Gly-Lys-Pro-Val-NH<sub>2</sub> (MS-33) (SEQ  
ID NO:6),

Thr-Ser-Ile-Ile-Ser-His-Phe-Arg-Trp-Gly-Lys-Pro-Val-NH<sub>2</sub> (MS-34)  
(SEQ ID NO:7),

Ser-Thr-Ile-Ile-Ser-His-Phe-Arg-Trp-Gly-Lys-Pro-Val-NH<sub>2</sub> (MS-35)  
(SEQ ID NO:8),

Ser-Ser-Val-Ile-Ser-His-Phe-Arg-Trp-Gly-Lys-Pro-Val-NH<sub>2</sub> (MS-36)  
(SEQ ID NO:9),

Ser-Ser-Ile-Val-Ser-His-Phe-Arg-Trp-Gly-Lys-Pro-Val-NH<sub>2</sub> (MS-37)  
(SEQ ID NO:10),

Ac-Ser-Ser-Ile-Ile-Ser-His-Phe-Arg-Trp-Gly-Lys-Pro-Val-NH<sub>2</sub>  
(MS-38) (SEQ ID NO:11),

dSer-Ser-Ile-Ile-Ser-His-Phe-Arg-Trp-Gly-Lys-Pro-Val-NH<sub>2</sub> (MS-39)  
(SEQ ID NO:12),

NMeSer-Ser-Ile-Ile-Ser-His-Phe-Arg-Trp-Gly-Lys-Pro-Val-NH<sub>2</sub> (MS-  
40) (SEQ ID NO:13),

Ser-Ser-Ile-Ile-Ser-His-Phe-Arg-Trp-Gly-Lys-Pro-NMeVal-NH<sub>2</sub> (MS-  
41) (SEQ ID NO:14) or

Ser-Ser-Ile-Ile-Ser-His-NMedPhe-Arg-Trp-Gly-Lys-Pro-Val-NH<sub>2</sub> (MS-  
42) (SEQ ID NO:15).

11 (previously presented). A compound according to claim  
1, in which R20 is -CH<sub>2</sub>X, wherein X is phenyl or substituted

phenyl, wherein the compound is capable of activating MC1-receptors *in vitro*.

12 (previously presented). A compound according to claim 1, in which R20 is  $-\text{CH}_2\text{X}$ , wherein X is naphthalene, or substituted naphthalene, wherein the compound is capable of blocking MC1-receptors *in vitro*.

13 (previously presented). A compound according to claim 9, which inhibits NO (nitric oxide) production, or the formation of nitrite.

14 (previously presented). A compound according to claim 9, which is immunomodulatory.

15 (previously presented). A compound according to claim 9, which ameliorates or inhibits contact hypersensitivity.

16 (previously presented). A compound according to claim 9, which inhibits sensitization by a hapten.

17 (previously presented). A compound according to claim 9, which has the ability to induce hapten tolerance.

18 (previously presented). A compound according to claim 9, which ameliorates or inhibits formation of oedema.

19 (previously presented). A compound according to claim 9, which ameliorates or inhibits inflammation of blood vessels or vasculitis.

20 (previously presented). A compound according to claim 9, which normalizes blood cell counts, said blood cell counts prior to administration of the compound deviating from the normal.

21 (previously presented). A compound according to claim 9, which is capable of decreasing the formation of interleukin 1 (IL-1), interleukin 6 (IL-6), and/or tumour necrosis factor  $\alpha$  (TNF- $\alpha$ ), to afford decreased production of nitric oxide and/or to downregulate the activity of nitric oxide synthase (NOS).

22 (previously presented). A compound according to claim 9, which is capable of stimulating the *in vitro* production of interleukin 8 (IL-8) and/or interleukin 10 (IL-10).

23 (cancelled).

24 (previously presented). An acid salt of any one of the compounds of claim 9.

25-64 (cancelled).

65 (previously presented). A pharmaceutical composition comprising a compound according to claim 9 together with a pharmaceutically acceptable carrier.

66 (previously presented). A compound according to claim 16, said hapten being 2,4-dinitrofluorobenzene (DNFB).

67 (previously presented). A compound according to claim 17, said hapten being 2,4-dinitrofluorobenzene (DNFB).

68 (previously presented). A compound according to claim 9, which ameliorates or inhibits formation of oedema, said oedema being associated with allergic reactions or inflammation.

69 (previously presented). The compound of claim 1 which is capable of binding MC1 receptor in vitro.

70 (previously presented). The compound of claim 69 which is capable of activating MC1 receptor in vitro.

71 (previously presented). The compound of claim 69 which is capable of blocking MC1 receptor in vitro.

72 (previously presented). The compound of claim 1 which is capable of stimulating second messenger cAMP in vitro.

73 (previously presented). The compound of claim 1 which is capable of inhibiting NO production in vitro.

74 (previously presented). The compound of claim 9 which is capable of binding MC1 receptor in vitro.

75 (previously presented). The compound of claim 9 which is capable of activating MC1 receptor in vitro.

76 (previously presented). The compound of claim 9 which is capable of blocking MC1 receptor in vitro.

77 (previously presented). The compound of claim 9 which is capable of stimulating second messenger cAMP in vitro.

78 (previously presented). The compound of claim 9 which is capable of inhibiting NO production in vitro.

79 (previously presented). The compound of claim 9 which is capable of decreasing the formation of interleukin-1 (IL-1)



*in vitro*.

80 (previously presented). The compound of claim 9 which is capable of decreasing the formation of interleukin-6 (IL-6) *in vitro*.

81 (previously presented). The compound of claim 9 which is capable of decreasing the formation of tumor necrosis factor  $\alpha$  (TNF- $\alpha$ ) *in vitro*.

82 (cancelled).

83 (previously presented). The compound of claim 9 which is capable of downregulating the activity of nitric oxide synthase (NOS) *in vitro*.

84 (previously presented). The compound of claim 9 which is capable of decreasing the formation of tumor necrosis factor  $\alpha$  (TNF- $\alpha$ ).

REMARKS

1. Claim 1 has been amended to correct a typographical error.

2. Claim 82 has been cancelled because it is identical to 79.

3. The July 21, 2004 amendment lists claim 5 as being in its original form. The reference to formula (2) in claim 5 as presented in the July 21, 2004 amendment was incorrect, the original language being "(3)". There was no intent to amend claim 5 to replace (3) with (2), and indeed the inset formula retained the "(3)". Thus, claim 5 was never amended to recite formula (2) instead of (3).

We consulted with Examiner Del Chism. On November 16, he advised us that, in filing this amendment, we should present claim 5 exactly as originally filed, and treat it as still being an original claim.

Respectfully submitted,

BROWDY AND NEWMARK, P.L.L.C.  
Attorneys for Applicant

By: 

Iver P. Cooper  
Reg. No. 28,005

624 Ninth Street, N.W.  
Washington, D.C. 20001  
Telephone: (202) 628-5197  
Facsimile: (202) 737-3528  
IPC:lms  
G:\ipc\n-q\Plou\Szardenings1\pto 312amendment.wpd